Rilpivirine (Edurant, TMC 278)

For additional information see Drugs@FDA:
http://www.accessdata.fda.gov/scripts/cder/drugsatfda/index.cfm

Formulations

Tablet: 25 mg

Dosing Recommendations

Neonate/infant dose:
Rilpivirine is not approved for use in neonates/infants.

Pediatric dose:
Rilpivirine is not approved for use in children.

Adult dose (antiretroviral [ARV]-naive patients only):
25 mg once daily.

Selected Adverse Events

- Depression, mood changes
- Insomnia
- Headache
- Rash

Special Instructions

- Instruct patients to take rilpivirine with a meal.
- Do not use rilpivirine with other non-nucleoside reverse transcriptase inhibitors (NNRTIs).
- Use rilpivirine with caution when coadministered with a drug with a known risk of torsade de pointes (http://www.qtdrugs.org/).
- Use rilpivirine with caution in patients with HIV RNA >100,000 copies/mL because of increased risk of virologic failure.

Metabolism

- Cytochrome P450 (CYP) 3A substrate.
- **Dosing of rilpivirine in patients with hepatic impairment:** No dose adjustment is necessary in patients with mild or moderate hepatic impairment.
- **Dosing in patients with renal impairment:** No dose adjustment is required in patients with mild or moderate renal impairment.
  - Use rilpivirine with caution in patients with severe renal impairment or end-stage renal disease. Increase monitoring for adverse effects because rilpivirine concentrations may be increased in patients with severe renal impairment or end-stage renal disease.

Drug Interactions:

- *Metabolism:* Rilpivirine is a CYP 3A substrate and requires dosage adjustments when administered with CYP 3A-modulating medications.

- Before rilpivirine is administered, the patient's medication profile should be carefully reviewed for potential drug interactions with rilpivirine.

Major Toxicities:

- *More common:* Insomnia, headache, and rash.
- *Less common (more severe):* Depression or mood changes.

Resistance: The International Antiviral Society-USA (IAS-USA) maintains a list of updated resistance mutations (see http://www.iasusa.org/resistance_mutations/index.html).

Pediatric Use: The pharmacokinetics (PKs), safety, and efficacy of rilpivirine in pediatric patients have not been established. An international trial currently under way is investigating a 25-mg dose of rilpivirine in combination with two nucleoside reverse transcriptase inhibitors (NRTIs) in ARV-naive children ages 12 to 18 years who weigh at least 40 kg.